Title (en)

N-Benzyl-Indoles, processes for their preparation and pharmaceutical compositions containing them.

Title (de)

N-Benzyl-Indole, Verfahren zu ihrer Herstellung und sie enthaltende pharmazeutische Zusammensetzungen.

Title (fr)

N-Benzyl-Indoles, procédés pour leur préparation et compositions pharmaceutiques les contenant.

Publication

EP 0469833 A1 19920205 (EN)

Application

EP 91306940 A 19910729

Priority

- GB 9016790 A 19900731
- GB 9107486 A 19910409

Abstract (en)

A compound of the formula <CHEM> in which R<1> is hydrogen, halo, C1-4 alkyl, C1-4 alkoxy, nitrile, optionally protected carboxy, optionally protected tetrazolyl, trihalomethyl, hydroxy-C1-4 alkyl, aldehydo,- CH2Z, -CH=CH-Z or -CH2CH2Z where Z is optionally protected carboxy or optionally protected tetrazolyl; R<2> is halo, nitrile, an optionally protected acid group or -CONR<7>R<8> where R<7> and R<8> are each hydrogen or C1-4 alkyl, R<3> and R<4> are each hydrogen, C1-4 alkyl, optionally substituted phenyl, or C1-4 alkyl substituted by -CONR<7>R<8> or an optionally protected acid group; R<5> is <CHEM> <CHEM> where W is -CH=CH-, -CH=N-, -N=CH-, -O- or -S-, R<9> is hydrogen, halo, C1-4 alkyl, C1-4 alkoxy or trihalomethyl, and R<1><0> is hydrogen, C1-4 alkyl, C2-6 alkenyl, C3-6 cycloalkyl or C1-4 alkyl-C3-6 cycloalkyl; R<6> is hydrogen or C1-4 alkyl; X is -O-(CH2)nCR<1><1>CR<1><2>-, -CR<1><1>R<1><2>-, -CR<1><1>R<1><2>.(CH2)n.CR<1><3>R<1><4>- or -CR<1><1>=CR<1><2>- where R<1><1>, R<1><2>, R<1><3> and R<1><4> are each hydrogen or C1-4 alkyl, and n is 0, 1 or 2; and Y is -O-CR<1><5>R<1><6>-, -CR<1><5>=CR<1><6>- or -CR<1><5> R<1><6>.CR<1><7>R<1><8>- where R<1><5>, R<1><6>, R<1><7> and R<1><8> are each hydrogen or C1-4 alkyl; or a salt thereof. The compounds in unprotected form are active as leukotriene antagonists.

IPC 1-7

A61K 31/40; C07D 401/10; C07D 401/12; C07D 401/14; C07D 417/14

IPC 8 full level

A61K 31/40 (2006.01); **A61K 31/33** (2006.01); **A61K 31/403** (2006.01); **A61K 31/404** (2006.01); **A61K 31/423** (2006.01); **A61K 31/425** (2006.01); **A61K 31/427** (2006.01); **A61K 31/428** (2006.01); **A61K 31/44** (2006.01); **A61K 31/4427** (2006.01); **A61K 31/4439** (2006.01); **A61K 31/4709** (2006.01); **A61K 31/498** (2006.01); **A61K 31/517** (2006.01); **A61P 9/00** (2006.01); **A61P 9/10** (2006.01); **A61P 11/06** (2006.01); **A61P 29/00** (2006.01); **A61P 37/08** (2006.01); **A61P 43/00** (2006.01); **C07D 209/32** (2006.01); **C07D 401/08** (2006.01); **C07D 401/10** (2006.01); **C07D 401/12** (2006.01); **C07D 401/14** (2006.01); **C07D 403/10** (2006.01); **C07D 403/12** (2006.01); **C07D 403/14** (2006.01); **C07D 413/10** (2006.01); **C07D 413/12** (2006.01); **C07D 413/14** (2006.01); **C07D 417/10** (2006.01); **C07D 417/12** (2006.01); **C07D 417/14** (2006.01)

IPC 8 main group level

A61K (2006.01); **C07D** (2006.01)

CPC (source: EP KR)

A61P 9/00 (2018.01 - EP); **A61P 9/10** (2018.01 - EP); **A61P 11/06** (2018.01 - EP); **A61P 29/00** (2018.01 - EP); **A61P 37/08** (2018.01 - EP); **A61P 43/00** (2018.01 - EP); **C07D 401/08** (2013.01 - KR); **C07D 401/10** (2013.01 - EP); **C07D 401/14** (2013.01 - EP); **C07D 417/14** (2013.01 - EP)

Citation (applicant)

- FLEISCH ET AL., J. PHARMACOL.EXP.THER., vol. 233, pages 148 - 157
- BOOT ET AL., BR.J.PHARMACOL., vol. 98, 1989, pages 259 - 267
- FURCHGOTT R.F.: "Handbook of Experimented Pharmacology", vol. 33, pages: 383 - 385
- SAUSSY ET AL., MOL. PHARMACOL., vol. 39, 1991, pages 72 - 78

Citation (search report)

- [A] EP 0290145 A1 19881109 - ICI AMERICA INC [US]
- [A] EP 0179619 A1 19860430 - ICI AMERICA INC [US]
- [A] WO 8905294 A1 19890615 - LEO PHARM PROD LTD [DK]
- [A] JOURNAL OF MEDICINAL CHEMISTRY, vol. 33, no. 4, April 1990, pages 1186-1194; R.D. YOUSSEFYEH et al.: "Development of a novel series of (2-quinolinylmethoxy)phenyl-containing compounds as high-affinity leukotriene receptor antagonists. 1. Initial structure-activity relationships"
- [A] JOURNAL OF MEDICINAL CHEMISTRY, vol. 33, no. 4, April 1990, pages 1194-1200, American Chemical Society; F.-C. HUANG et al.: "Development of a novel series of (2-quinolinylmethoxy)phenyl-containing compounds as high-affinity leukotriene D4 receptor antagonists. 2. Effects of an additional phenyl ring on receptor affinity"

Cited by

US6160120A; EP0743064A1; US5416211A; EP0539117A1; US5410061A; EP0775694A3; US5807866A; EP0658554A1; US5569764A; US5622969A; US5399702A; EP0577003A1; JPH0680654A; AU667107B2; US6509476B1; EP0775694A2

Designated contracting state (EPC)

AT BE CH DE DK ES FR GB GR IT LI LU NL SE

DOCDB simple family (publication)

EP 0469833 A1 19920205; **EP 0469833 B1 19990908**; AT E184280 T1 19990915; AU 654140 B2 19941027; AU 8139991 A 19920206; CA 2048096 A1 19920201; CA 2048096 C 20020917; CN 1040108 C 19981007; CN 1061413 A 19920527; CS 238091 A3 19920318; CZ 279932 B6 19950816; DE 69131592 D1 19991014; DE 69131592 T2 20000120; DK 0469833 T3 19991220; ES 2137158 T3 19991216; FI 102536 B1 19981231; FI 102536 B 19981231; FI 913639 A0 19910730; FI 913639 A 19920201; GR 3032038 T3 20000331; HU 211952 A9 19960129; HU 213604 B 19970828; HU 912535 D0 19920128; HU T60491 A 19920928; IE 912670 A1 19920212; IL 98990 A0 19920715; IL 98990 A 19950629; JP 3220191 B2 20011022; JP H06220045 A 19940809; KR 0179985 B1 19990320; KR 920002582 A 19920228; MX 9100414 A 19920228; MY 131141 A 20070731; NO 300133 B1 19970414; NO 912963 D0 19910730; NO 912963 L 19920203; NZ 239168 A 19930526; PH 30487 A 19970528; PT 98475 A 19920630; PT 98475 B 19971128; SK 279197 B6 19980708; YU 132591 A 19940120; YU 47839 B 19960109

DOCDB simple family (application)

EP 91306940 A 19910729; AT 91306940 T 19910729; AU 8139991 A 19910726; CA 2048096 A 19910729; CN 91105940 A 19910730; CS 238091 A 19910730; DE 69131592 T 19910729; DK 91306940 T 19910729; ES 91306940 T 19910729; FI 913639 A 19910730; GR 990403125 T 19991202; HU 253591 A 19910730; HU 9500614 P 19950630; IE 267091 A 19910730; IL 9899091 A 19910729; JP 27905391 A 19910730; KR 910013080 A 19910730; MX 9100414 A 19910729; MY PI9101362 A 19910729; NO 912963 A 19910730; NZ 23916891 A 19910729; PH 42849 A 19910729; PT 9847591 A 19910729; SK 238091 A 19910730; YU 132591 A 19910730